Page 2 of 9

Please enter the following amended claims:

1 (amended). A compound of formula (I):

$$R_1$$
 $NH$ 
 $CH_2)_q$ 
 $R_3$ 
 $R_2$ 
 $(I)$ 

wherein:

A is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

 $\mathbf{R}_{1}$ -or- $\mathbf{R}_{2}$  is of the formula (i):

$$(CH_2)_r$$
— $A'$ — $R_4$  (i)

wherein:

A' is a 5- or 6-membered aromatic or heteroaromatic ring containing 0 to 4 heteroatoms selected from the group consisting of N, O, and S;

r is an integer ranging from 1 to 20;

 $R_4$  is selected from the group consisting of H;  $NH_2$ ;  $(CH_2)_sOH$ , wherein s is an integer ranging from 1 to 8;  $R_{14}COOH$ , wherein  $R_{14}$  is an alkyl or alkylidene group having 1 to 8 carbon atoms, halo,  $NHR_8$ ,  $NR_8R_9$ ,  $NHCOR_8$ ,  $NR_8COR_9$ ,  $SO_3H$  and  $PO_3H_2$ ;

R<sub>3</sub> is selected from the group consisting of H, NH<sub>2</sub>, R<sub>15</sub>COOH, wherein R<sub>15</sub> is an alkyl or alkylidene group having 1 to 8 carbon atoms, and (CH<sub>2</sub>)<sub>1</sub>OH, wherein t is an integer ranging from 1 to 8; halo, NHR<sub>8</sub>, NR<sub>8</sub>R<sub>9</sub>, NHCOR<sub>8</sub>, NR<sub>8</sub>COR<sub>9</sub>, SO<sub>3</sub>H and

Page 3 of 9

## $PO_3H_2$ ;

q is an integer ranging from 1 to 8;

or R<sub>1</sub> or R<sub>2</sub> is a C<sub>1</sub>-C<sub>8</sub> alkanyl group, C<sub>2</sub>-C<sub>8</sub>-alkenyl- or C<sub>2</sub>-C<sub>8</sub> -alkynyl- group which is optionally substituted by -CN, -CH<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>OH, -OR<sub>8</sub>, -NR<sub>6</sub>R<sub>7</sub>, -NHCOR<sub>8</sub>, -NHCONR<sub>6</sub>R<sub>7</sub>, halogen, -OCOR<sub>8</sub>, -OCH<sub>2</sub>COOH, -OCH<sub>2</sub>COOR<sub>8</sub>, -SO<sub>2</sub>R<sub>5</sub>, -S-R<sub>5</sub>, -NHCONH phenyl, -OCH<sub>2</sub>-CONR<sub>6</sub>R<sub>7</sub>, -OCH<sub>2</sub>CH<sub>2</sub>OH, -SO<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-O-COR<sub>8</sub>, -OCH<sub>2</sub>-CH<sub>2</sub>-NR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-OH, -CONHSO<sub>2</sub>R<sub>8</sub>, -CH<sub>2</sub>CONHSO<sub>2</sub>R<sub>8</sub>, -OCH<sub>2</sub>CH<sub>2</sub>OR<sub>8</sub>, -COOH, --COOR<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub>, -CHO, -SR<sub>8</sub>, -SO<sub>8</sub>, -SO<sub>2</sub>R<sub>8</sub>, -SO<sub>3</sub>H, -PO<sub>3</sub>H<sub>2</sub>, -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, -OCH<sub>2</sub>-CH<sub>2</sub>OCOR<sub>8</sub>, -CH=NOH, -CH=NOR<sub>8</sub>, -COR<sub>9</sub>, -CH(OH)R<sub>9</sub>, -CH(OR<sub>8</sub>)<sub>2</sub>, -CH=CH-R<sub>10</sub>, -OCONR<sub>6</sub>R<sub>7</sub>,

$$H \longrightarrow C \longrightarrow N \longrightarrow R_{11} \longrightarrow NH_2 \longrightarrow NH \longrightarrow NH_2$$

or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

Page 4 of 9

or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes  $C_3$ - $C_7$ -cycloalkyl- $C_1$ - $C_6$ -alkylene-,  $C_3$ - $C_7$ -cycloalkyl- $C_2$ - $C_6$ -alkenylene-,  $C_3$ - $C_7$ -cycloalkyl- $C_2$ - $C_6$ -alkynylene-, in which the cycloalkyl group may optionally be substituted, either directly or via a  $C_{1-4}$ -alkylene group, by -CN, - $CH_2NR_6R_7$ , =O, -OH, - $OR_8$ , - $OH_2COOR_8$ , - $OH_2OH_8$ 

$$H \longrightarrow C \longrightarrow N \longrightarrow R_{11} \longrightarrow NH_2 \longrightarrow NH \longrightarrow NH_2$$

or by 1,3-dioxolane or 1,3-dioxane which is optionally mono- or polysubstituted by methyl; or

denotes a group of the formula A- $C_1$ - $C_6$ -alkylene-, A-CONH- $C_1$ - $C_6$ -alkylene-, A-CONH- $C_2$ - $C_6$ -alkenylene-, A-CONH- $C_2$ - $C_6$ -alkynylene-, A-NH-CO- $C_1$ - $C_6$ -alkylene, A-NH-CO- $C_2$ - $C_6$ -alkenylene-, A-NH-CO- $C_2$ - $C_6$ -alkynylene, A- $C_2$ - $C_6$ -alkynylene, wherein A is a C- or N-linked 5- or 6-membered heterocyclic ring, 5- or 6-

Page 5 of 9

membered aromatic ring, or 5-or 6- membered heteroaromatic ring which contains nitrogen, oxygen or sulphur as heteroatoms and may optionally be mono- or polysubstituted, by C<sub>1</sub>-C<sub>4</sub>-alkyl, halogen, --OR<sub>8</sub>, -CN, --NO<sub>2</sub>, -NH<sub>2</sub>, -CH<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, -OH, =O, a ketal, -COOH, -SO<sub>3</sub>H, -PO<sub>3</sub>H<sub>2</sub>, -COOR<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub>, -COR<sub>9</sub>, -SO<sub>2</sub>-R<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub> or



R<sub>5</sub> denotes C<sub>1</sub>-C<sub>4</sub>-alkyl, optionally substituted by OH, OCOR<sub>8</sub>, NH<sub>2</sub>, NR<sub>6</sub>R<sub>7</sub> or NHCOR<sub>8</sub>,

 $R_6$  denotes hydrogen, an optionally substituted  $C_{3-6}$ -cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, preferably a  $C_1$ - $C_4$ -alkyl group, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino,  $C_1$  to  $C_8$ , or it denotes --( $CH_2$ )<sub>m</sub>—NHCOOR<sub>8</sub> wherein m=1, 2, 3 or 4;

R<sub>7</sub> denotes hydrogen, an optionally substituted C<sub>3-6</sub>-cycloalkyl group, a branched or unbranched alkyl-, alkenyl- or alkynyl group having up to 10 carbon atoms, which may optionally be substituted by hydroxy, phenyl, substituted phenyl, amino, substituted amino, C<sub>1</sub> to C<sub>8</sub>, -or it denotes --(CH<sub>2</sub>)<sub>m</sub>-NHCOOR<sub>8</sub> wherein m=1, 2, 3 or 4; or R<sub>6</sub> and R<sub>7</sub> together with the nitrogen atom form a saturated or unsaturated 5- or 6-membered ring which may contain as heteroatoms nitrogen, oxygen or sulphur, while the heterocyclic ring may be substituted by a branched or unbranched C<sub>1-4</sub>-alkyl group, or may carry one of the following groups: --(CH<sub>2</sub>)<sub>n</sub>-NH<sub>2</sub>, =O, a ketal - preferably -O-CH<sub>2</sub>-CH<sub>2</sub>-O-, -(CH<sub>2</sub>)<sub>n</sub>-NH-C<sub>1</sub>-C<sub>4</sub>-alkyl, -(CH<sub>2</sub>)<sub>n</sub>-N(C<sub>1</sub>-C<sub>8</sub>-alkyl), -(CH<sub>2</sub>)<sub>n</sub>-NHCOOR<sub>8</sub>, (n=2, 3, 4,), halogen, -OR<sub>8</sub>, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -CH<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, -OH, -COOH, -SO<sub>3</sub>H, -PO<sub>3</sub>H<sub>2</sub>, -COOR<sub>8</sub>, -CONR<sub>6</sub>R<sub>7</sub>, -SO<sub>2</sub>R<sub>8</sub>,

R<sub>8</sub> denotes hydrogen, C<sub>1</sub>-C<sub>8</sub>-alkyl or C<sub>2</sub>-C<sub>8</sub>-alkenyl or C<sub>2</sub>-C<sub>8</sub>-alkynyl optionally

Page 6 of 9

substituted with CO<sub>2</sub>H, a benzyl- or phenyl- group, which is optionally mono- or polysubstituted by OCH<sub>3</sub>;

 $R_9$  denotes  $C_1$ - $C_8$ -alkyl or  $C_2$ - $C_8$ -alkenyl or  $C_2$ - $C_8$ -alkynyl optionally substituted with  $CO_2H$ , optionally substituted phenyl, optionally substituted benzyl,  $C_3$ - $C_6$ -cycloalkyl, and

 $R_{10}$  denotes  $-COOR_8$ ,  $-CH_2OR_8$ ,  $-CONR_6R_7$ , hydrogen,  $C_1$ - $C_3$ -alkyl, optionally substituted phenyl,  $--CH_2NR_6R_7$ ;

and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

2 (original). The compound of claim 1, wherein at least one of  $R_3$  and  $R_4$  is independently selected from the group consisting of  $SO_3H$  and  $PO_3H_2$ .

3(original). The compound of claim 1, wherein  $R_1$  or  $R_2$  is a  $C_1$ - $C_8$  alkanyl group,  $C_2$ - $C_8$ -alkenyl group or  $C_2$ - $C_8$  alkynyl group which is optionally substituted by  $NR_6R_7$ , -  $SO_3H$ , or  $-PO_3H_2$ .

4 (original). The compound of claim 1, wherein A is phenyl.

5 (original). The compound of claim 1, wherein A' is phenyl.

6 (original). The compound of claim 1, wherein:

 $R_1$  is a  $C_1$ - $C_8$  alkanyl group,  $C_2$ - $C_8$ -alkenyl group or  $C_2$ - $C_8$  alkynyl group which is optionally substituted by  $NR_6R_7$  or  $-SO_3H$ ;

A is phenyl; and

A' is phenyl.

7 (original). The compound of claim 6, wherein at least one of R<sub>3</sub> and R<sub>4</sub> is independently selected from the group consisting of SO<sub>3</sub>H and PO<sub>3</sub>H<sub>2</sub>.

Page 7 of 9

- 8 (original). The compound of claim 1, wherein said compound is selected from the group consisting of:
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(4-thiazolyl)methyl]xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfonoxybenzyl)xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;
  - 3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-propylxanthine;
  - 8-Benzyl-1-propyl-3-[4-(4-sulfonoxyphenyl)butyl]xanthine;
  - 8-Benzyl-1-propyl-3-[2-(4-sulfonoxyphenyl)ethyl]xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfonoxypropyl)xanthine; and pharmaceutically acceptable salts, hydrates and prodrugs thereof.
- 9 (original). The compound of claim 1, wherein said compound is selected from the group consisting of:
  - 8-Benzyl-1-propyl-3-[4-(4-sulfonoxyphenyl)butyl]xanthine;
  - 8-Benzyl-1-propyl-3-[2-(4-sulfonoxyphenyl)ethyl]xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-sulfonoxypropyl)xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-(4-fluorobenzyl)-1-propylxanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(thiophen-2-yl)methyl]xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(1*H*-tetrazol-5-yl)methyl]xanthine;
  - 8-(2-Acetaminobenzyl)-3-[2-(4-aminophenyl)ethyl]-1-propylxanthine;
  - 8-(2-Aminobenzyl)-3-(2-phenylethyl)-1-propylxanthine;
  - 8-Benzyl-3-[2-(3-carboxyphenyl)ethyl]-1-propylxanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(8-sulfonoxyoctyl)xanthine;
  - 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfonoxypentyl)xanthine; and pharmaceutically acceptable salts, hydrates and prodrugs thereof.

Page 8 of 9

10 (original). The compound of claim 1, wherein said compound is selected from the group consisting of:

- 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-propylxanthine;
- 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-[(3-pyridyl)methyl]xanthine;
- 3-[2-(4-Aminophenyl)ethyl]-1-propyl-8-(4-sulfonoxybenzyl)xanthine;
- 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-methoxypropyl)xanthine;
- 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(3-dimethylamino)propylxanthine;
- 3-[2-[4-(6-Aminohexanoyl)aminophenyl]ethyl]-8-benzyl-1-propylxanthine; and pharmaceutically acceptable salts, hydrates and prodrugs thereof.
- 11 (original). The compound of claim 1, wherein said compound is selected from the group consisting of:
- 3-[2-(4-Aminophenyl)ethyl]-8-benzyl-1-(5-sulfonoxypentyl)xanthine; and pharmaceutically acceptable salts, hydrates and prodrugs thereof.
- 12 (original). A composition comprising a compound of claim 1 in a pharmaceutically acceptable carrier.